Contents lists available at ScienceDirect

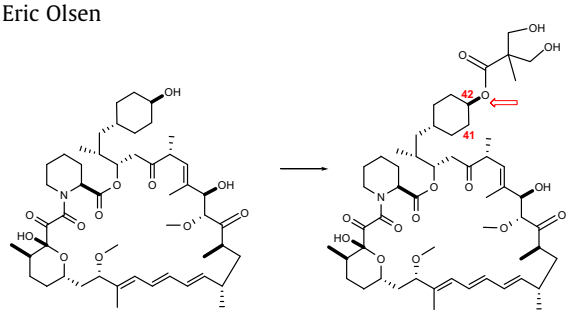
Tetrahedron Letters

journal homepage: www.elsevier.com/locate/tetlet

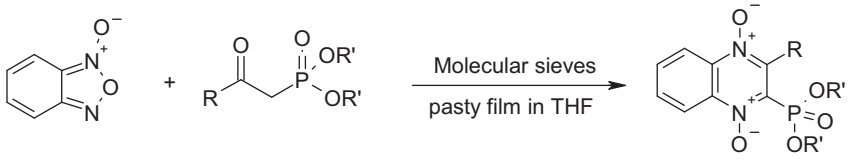
Tetrahedron Letters Vol. 51, No. 42, 2010

Contents

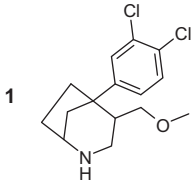
COMMUNICATIONS



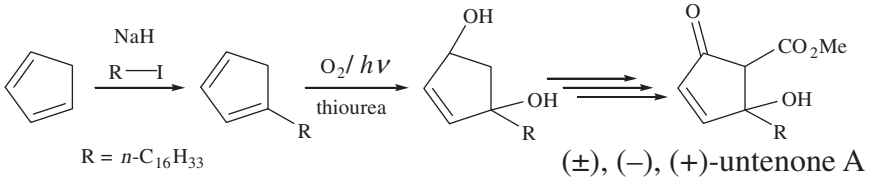
New acyl donors have been found enabling the first regioselective acylation of a rapamycin derivative without the use of vinyl esters.

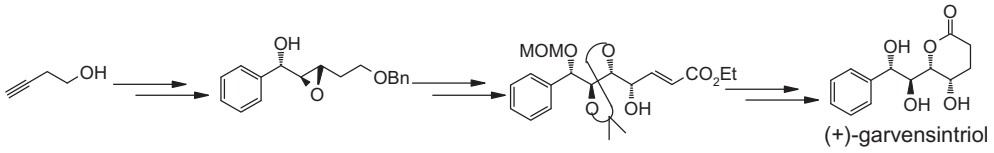


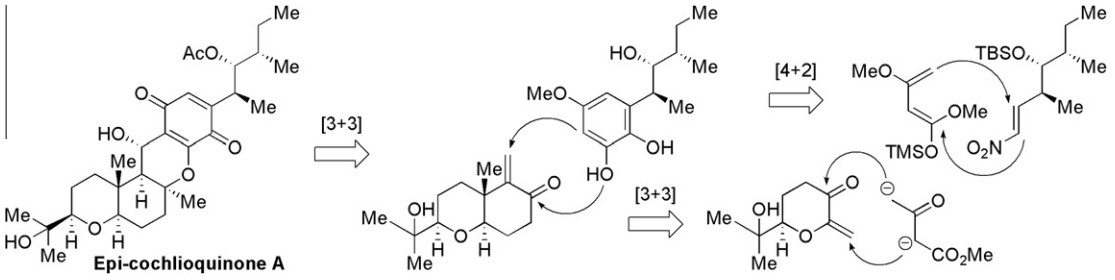
We report the first synthesis of 2-phosphonylated quinoxaline 1,4-dioxides using an extension of the Beirut reaction.

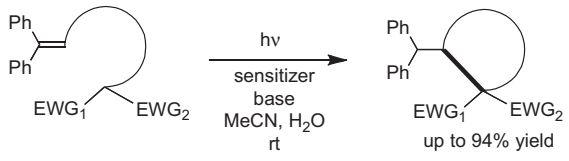


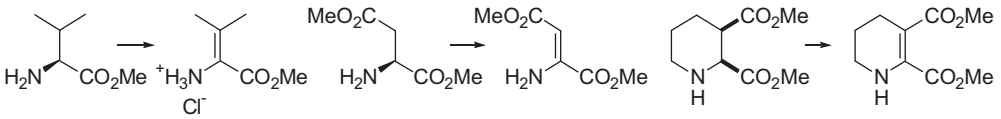
Stereochemical and synthetic aspects encountered during the preparation of the four possible isomers of **1** are reported. The 5-aryl 2-azabicyclo [3.2.1] octane derivatives represent a novel class of compounds which can be deemed as an example of aryl-piperidine conformationally constrained of potential interest for medicinal chemistry exploration. In particular isomers of **1** are characterised by a potent in vitro serotonine, dopamine and noradrenaline re-uptake inhibitor (TRUI) activity superior/comparable to standard compounds such as DOV 21,947 and DOV 102,677.

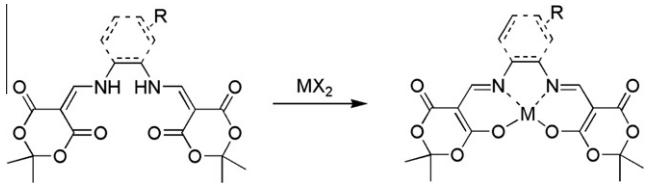




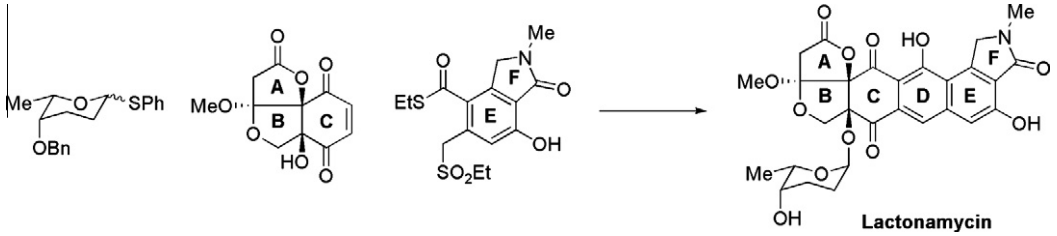


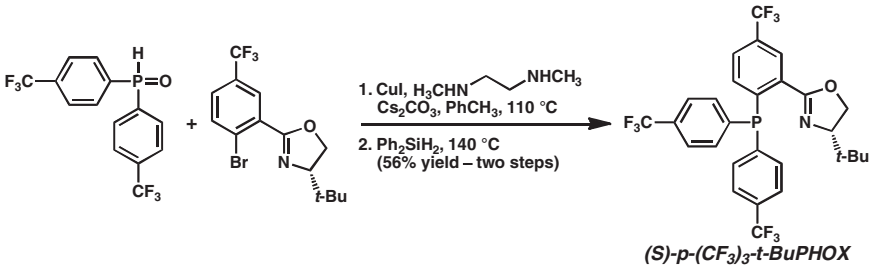


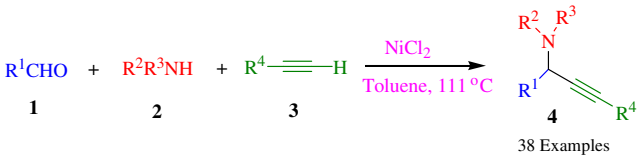


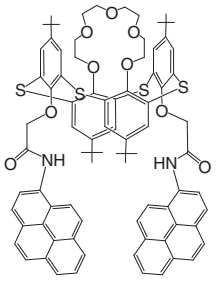


A new family of N_2O_2-tetradentate ligands and complexes derived thereof, based on Meldrum's acid and diamines, has been developed.

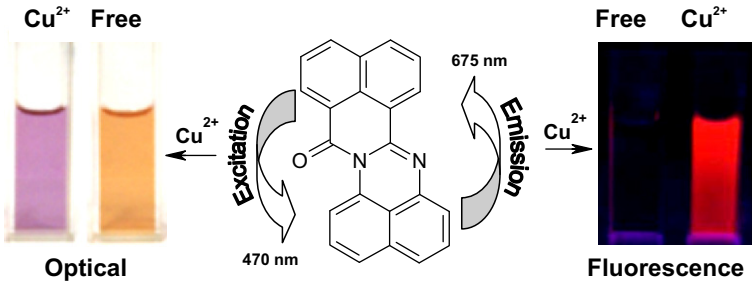


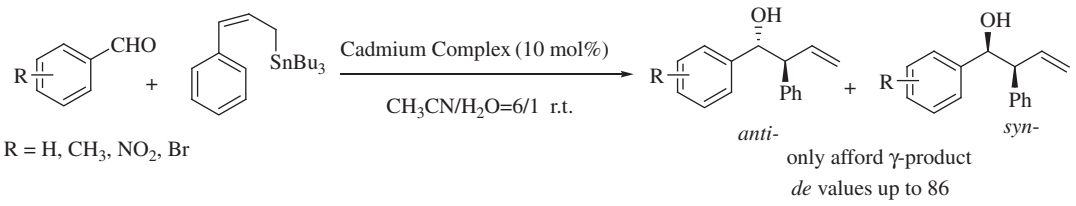




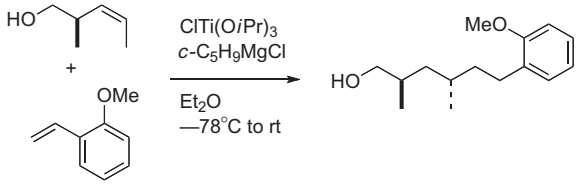


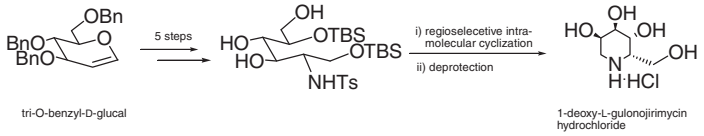
A new pyrene-appended chemosensor based on thiacalix[4]arene of 1,3-*alternate* conformation has been synthesised which demonstrates selective optical recognition of Fe^{3+} and F^- in two contrasting modes. The chemosensor behaves as a bifunctional fluorescent switch which mimics the performance of an *exclusive*-NOR (XNOR) logic gate with chemical inputs of Fe^{3+} and F^- ions.

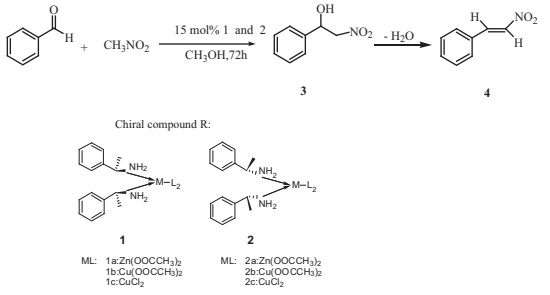


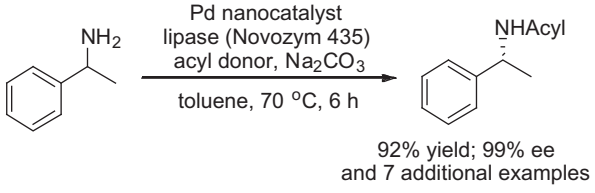


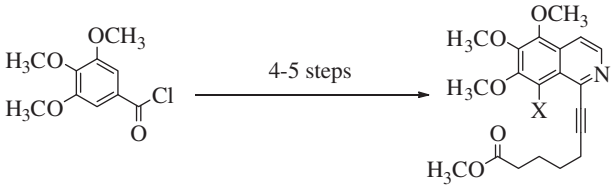
In aqueous media, the dinuclear cadmium amino acid complex, namely $[Cd_2(tren)_2(dl\text{-alaninato})](ClO_4)_3{\cdot}H_2O$ can efficiently mediate the allylation of various aldehydes to afford the corresponding homoallyl alcohols in up to 96% yield. Additionally, the reaction of cinnamyltributylstannane has regioselectivity favoring the γ-products, as well as good diastereoselectivity favoring the *anti* isomers.

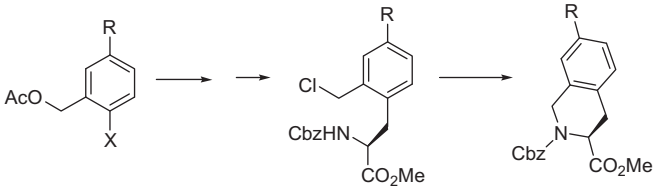




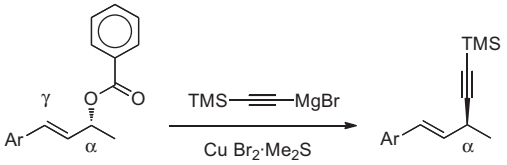


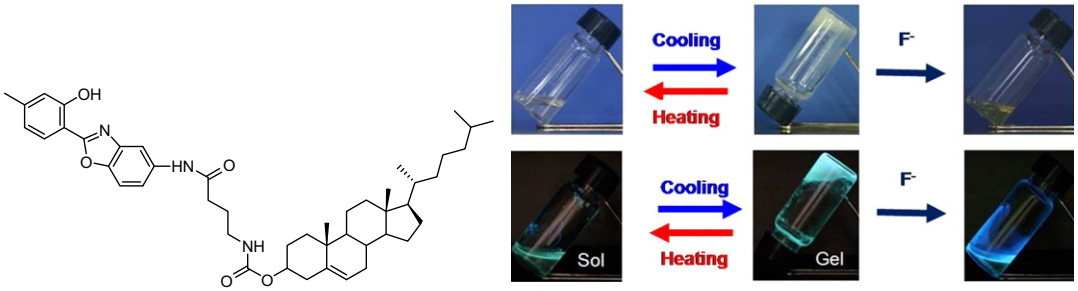




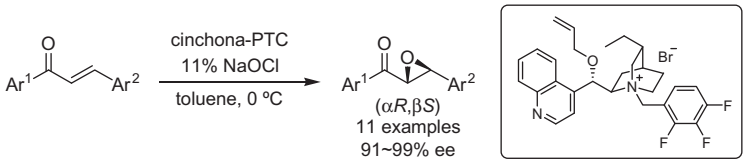


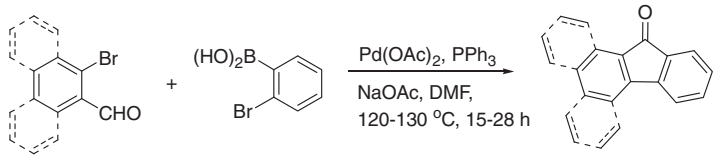
Constrained phenylalanine derivatives containing hydrophobic, hydrogen bond acceptor and/or donor functionalities were synthesized through a tandem palladium-mediated Heck reaction followed by a rhodium(II)-catalyzed asymmetric hydrogenation. Aryl bromides were found to be better substrates and provided products with higher purity and in good yield. The cesium carbonate-mediated cyclization proceeded smoothly in good yield and optical purity. Aryl iodides reacted selectively over bromides under Jeffery-type conditions ($Pd(OAc)_2$, Bu_4NCl, Et_3N) providing an opportunity for further functionalization.

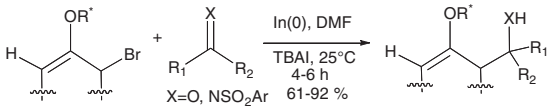




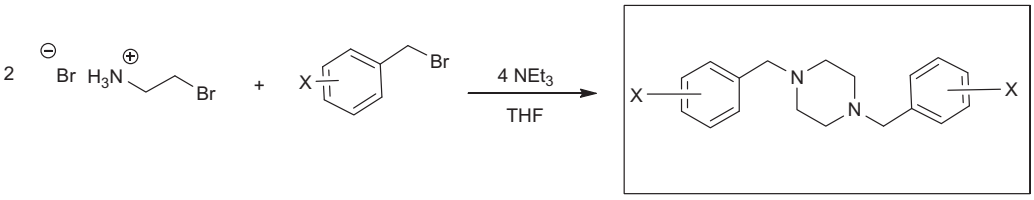
A cholesteryl-based HPB derivative linked with an amide bond was synthesized to incorporate organogelling and fluoride ion-responsive properties.

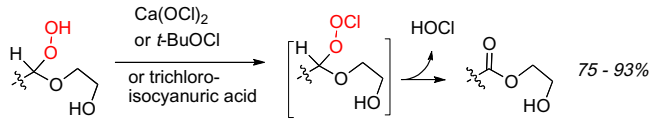


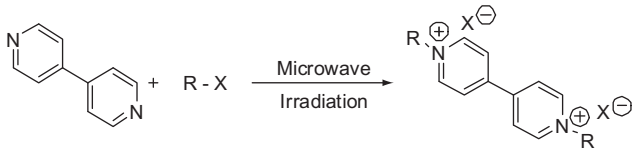




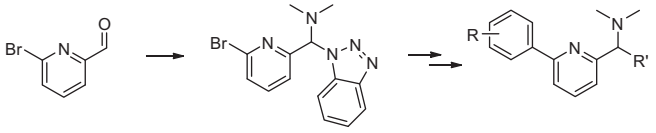
Indium-mediated allylation of aldehydes, ketones, and sulfonimines with 2-(alkoxy)allyl bromides furnishes the corresponding homoallylic alcohols and sulfonamines in high yields. The products can be readily transformed into β-hydroxy ketones and esters, as well as substituted dihydropyrans and protected β-amino acids. Chiral 2-(alkoxy)propenyl halides, derived from (−)-menthol and D-glucal, furnish products in moderate diastereomeric excess.

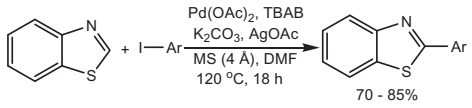






Symmetric and asymmetric viologens were synthesized under the assistance of microwave irradiation in good to excellent yields and in short reaction times





*Corresponding author
Supplementary data available via ScienceDirect

COVER

The first total synthesis of lactonamycin has been achieved. The synthesis features the highly convergent route involving the cascade sequence to form the 5-5-6 rings system having three tetra-substituted carbons.
Tetrahedron Letters **2010**, 51, 5546–5549.

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts, Chemical Engineering and Biotechnology Abstracts, Current Biotechnology Abstracts, Current Contents: Life Sciences, Current Contents: Physical, Chemical and Earth Sciences, Current Contents Search, Derwent Drug File, Ei Compendex, EMBASE/Excerpta Medica, Medline, PASCAL, Research Alert, Science Citation Index, SciSearch. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

ELSEVIER

Available online at www.sciencedirect.com
ScienceDirect

ISSN 0040-4039